=> file casreact

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FILE CONTENT: 1840 - 14 May 2006 VOL 144 ISS 20

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Some CASREACT records are derived from the ZIC/VINITI database (1974-1991) provided by InfoChem, INPI data prior to 1986, and Biotransformations database compiled under the direction of Professor Dr. Klaus Kieslich.

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L1 2 SEA FILE=CASREACT AMLODIPINE AND TARTARIC(W)ACID

=> d l1 1-2 ibib abs fcrd

L1 ANSWER 1 OF 2 CASREACT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 143:43779 CASREACT

TITLE: A method for the enantiomeric separation of optical

active Amlodipine

INVENTOR(S): Zhong, Nanping; Zhao, Xianfeng; Ma, Hui; Chen, Yujie

PATENT ASSIGNEE(S): Shijiazhuang Pharmaceutical Group Ouyi Pharma. Co.,

Ltd., Peop. Rep. China

SOURCE: PCT Int. Appl., 15 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2005054196 A1 20050616 WO 2004-CN1412 20041203

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

```
The Invention relate to the preparation of the (S)-(-)-Amlodipine and
     (R)-(+)-Amlodipine by means of enantiomeric separation of racemic
     Amlodipine mixture, in which, L- or D-tartaric
     acid is used as resolution agent, and organic solvent containing 2-butanone
     is used as solvent. The 2-butanone used in the present invention has the
     advantage of low b.p., low toxicity, little pollution, and the method is
     suitable for large-scale production
     NO HIGHLIGHTING INFORMATION PRESENT
REFERENCE COUNT:
                         6
                               THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
                               RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
     ANSWER 2 OF 2 CASREACT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
                         140:287272 CASREACT
                         Process for the preparation of (S)-(-)-
TITLE:
                         amlodipine by resolution of (RS) -
                         amlodipine with L-tartaric
                         acid
INVENTOR (S):
                         Chung, You-Sup; Ha, Mun-Choun
PATENT ASSIGNEE(S):
                         Hanlim Pharmaceutical Co., Ltd., S. Korea
SOURCE:
                         PCT Int. Appl., 14 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:
                         Patent
LANGUAGE:
                         English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
     PATENT NO.
                    KIND DATE
                                       APPLICATION NO. DATE
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                                          -----
                                        WO 2003-KR1849 20030908
     WO 2004024689
                     A1 20040325
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,
            GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR,
            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
             PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
             TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
            KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
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                      AA
                            20040325
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     AU 2003260983
                      Α1
                            20040430
                                          AU 2003-260983
     EP 1537082
                      A1
                            20050608
                                          EP 2003-795471
                                                            20030908
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
                     T2 20060112
                                           JP 2004-535251
     JP 2006501264
                                                            20030908
     US 2006014961
                            20060119
                      A1
                                           US 2005-527091
                                                            20050309
PRIORITY APPLN. INFO.:
                                           KR 2002-54808
                                                            20020911
```

WO 2003-KR1849

20030908

CN 2003-10119335 20031205

GI

AB (S)-(-)-amlodipine I is prepared from racemic amlodipine
by a resolution using L-(+)-tartaric acid; Ltartaric acid is much less expensive than the Dtartaric acid used in a previous method for the preparation
of I, decreasing the cost of resolution and making resolution of I more
amenable

Т

to industrial scale synthesis. 0.5-0.55 Equivalent of L-(+)-tartaric acid in DMSO is added to racemic I in DMSO and stirred overnight at room temperature to yield a slurry from which the precipitate is filtered; addition of

methylene chloride to the filtered solution, stirring at ambient temperature for $40\,$

h, cooling to 5° and stirring for two hours yields a precipitate of the DMSO solvate of the L-hemitartrate salt of I. The amount of DMSO present in the resolution step should be between four to six times (preferably five times) the volume of one gram of racemic amlodipine per g of amlodipine resolved, and the amount of methylene chloride added afterwards should be one to two times the amount of DMSO present. The DMSO solvate of the L-hemitartrate salt of I can be converted to the hydrate of the L-hemitartrate salt of I by refluxing in methanol to dissolve the DMSO solvate followed by overnight stirring and filtration. Treatment of a methylene chloride solution of either the DMSO solvate of the L-hemitartrate salt of I or the hydrate of the L-hemitartrate salt of I with a 2 M solution of sodium bicarbonate in water followed by cooling to 5° and filtration yields I. I is prepared on gram scale by this method.

NO HIGHLIGHTING INFORMATION PRESENT

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FILE LAST UPDATED: 16 May 2006 (20060516/ED)

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L1 2 SEA FILE=CASREACT AMLODIPINE AND TARTARIC(W)ACID

L2 2 SEA FILE=CAPLUS L1

=> d l1 1-2 ibib abs hit

YOU HAVE REQUESTED DATA FROM FILE 'CASREACT' - CONTINUE? (Y)/N:n

=> d 12 1-2 ibib abs hit

L2 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:523419 CAPLUS

DOCUMENT NUMBER: 143:43779

TITLE: A method for the enantiomeric separation of optical

active Amlodipine

INVENTOR(S): Zhong, Nanping; Zhao, Xianfeng; Ma, Hui; Chen, Yujie

PATENT ASSIGNEE(S): Shijiazhuang Pharmaceutical Group Ouyi Pharma. Co.,

Ltd., Peop. Rep. China PCT Int. Appl., 15 pp.

SOURCE: PCT Int. Appl.,

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND)	DATE		APPLICATION NO.				DATE						
WO 2005054196			A1 2005063		0616	WO 2004-CN1412				20041203							
	W :	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	KZ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
		TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	ZW
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	ŞΖ,	TZ,	UG,	ZM,	ZW,	AM,
		ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,
		MR,	ΝE,	SN,	TD,	TG											
RITY APPLN. INFO.:				. :					(CN 20	003-3	10119	9335	7	A 20	0031	205

PRIORITY APPLN. INFO.:
OTHER SOURCE(S): CASREACT 143:43779

AB The Invention relate to the preparation of the (S)-(-)-Amlodipine and (R)-(+)-Amlodipine by means of enantiomeric separation of racemic Amlodipine mixture, in which, L- or D-tartaric acid is used as resolution agent, and

solvent containing 2-butanone is used as solvent. The 2-butanone used in the present invention has the advantage of low b.p., low toxicity, little pollution, and the method is suitable for large-scale production

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

AN 2005:523419 CAPLUS

DN 143:43779

L2 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2004:252483 CAPLUS

DOCUMENT NUMBER:

140:287272

TITLE:

Process for the preparation of (S)-(-)-amlodipine by resolution of (RS)-amlodipine with L-tartaric acid

INVENTOR(S):

Chung, You-Sup; Ha, Mun-Choun

PATENT ASSIGNEE(S):

Hanlim Pharmaceutical Co., Ltd., S. Korea

SOURCE:

PCT Int. Appl., 14 pp.

DOCUMENT TYPE:

Patent

CODEN: PIXXD2

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. _____ _ _ _ _ -----______ WO 2003-KR1849 WO 2004024689 A1 20040325 20030908 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG CA 2525699 AA20040325 CA 2003-2525699 20030908 AU 2003260983 Α1 20040430 AU 2003-260983 20030908 EP 1537082 20050608 EP 2003-795471 Α1 20030908 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK JP 2004-535251 JP 2006501264 T2 20060112 20030908 US 2006014961 A1 20060119 US 2005-527091 20050309 PRIORITY APPLN. INFO.: KR 2002-54808 Α 20020911 W 20030908 WO 2003-KR1849

CASREACT 140:287272

OTHER SOURCE(S):

GT

AB (S)-(-)-amlodipine I is prepared from racemic amlodipine by a resolution using L-(+)-tartaric acid; L-tartaric acid is much less expensive than the D-tartaric acid used in a previous method for the preparation of I, decreasing the cost of resolution and making resolution of I more amenable to industrial scale synthesis. 0.5-0.55 Equivalent of L-(+)-tartaric acid in DMSO is added to racemic I in DMSO and stirred overnight at room temperature to yield a slurry

from which the precipitate is filtered; addition of methylene chloride to the

filtered solution, stirring at ambient temperature for 40 h, cooling to 5° and stirring for two hours yields a precipitate of the DMSO solvate of the L-hemitartrate salt of I. The amount of DMSO present in the resolution step should be between four to six times (preferably five times) the volume of one gram of racemic amlodipine per g of amlodipine resolved, and the amount of methylene chloride added afterwards should be one to two times the amount of DMSO present. The DMSO solvate of the L-hemitartrate salt of I can be converted to the hydrate of the L-hemitartrate salt of I by refluxing in methanol to dissolve the DMSO solvate followed by overnight stirring and filtration. Treatment of a methylene chloride solution of either the DMSO solvate of the L-hemitartrate salt of I or the hydrate of the L-hemitartrate salt of I with a 2 M solution of sodium bicarbonate in water followed by cooling to 5° and filtration yields I. I is prepared on gram scale by this method.

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

2004:252483 CAPLUS AΝ

DN 140:287272

=> => d l1 1-24 ibib abs hitstr

L1 ANSWER 1 OF 24 USPATFULL on STN

ACCESSION NUMBER: 2006:41288 USPATFULL TITLE: (S) - Amlodipine malate

INVENTOR(S): Laughlin, Sharon M., Hudson, MA, UNITED STATES

Bakale, Roger, Shrewsbury, MA, UNITED STATES

Wilkinson, Harold Scott, Westborough, MA, UNITED STATES

Zlota, Andrei, Sharon, MA, UNITED STATES

PATENT ASSIGNEE(S): Sepracor Inc., Marlborough, MA, UNITED STATES (U.S.

corporation)

NUMBER KIND DATE -----US 2006035940 A1 20060216 US 2005-82253 A1 20050316 (11) PATENT INFORMATION: APPLICATION INFO.:

> NUMBER DATE

US 2004-554030P 20040316 (60) US 2005-649635P 20050203 (60) PRIORITY INFORMATION:

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: FOLEY HOAG, LLP, PATENT GROUP, WORLD TRADE CENTER WEST, 155 SEAPORT BLVD, BOSTON, MA, 02110, US
34

NUMBER OF CLAIMS: EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 69 Drawing Page(s)

LINE COUNT: 2978

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

One aspect of the present invention relates to optically pure (s)-amlodipine malate. Another aspect of the present invention relates to (rac)-amlodipine malate. In a preferred embodiment, the compound is optically pure (S)-amlodipine L-malate. Another aspect of the present invention relates to a pharmaceutical composition comprising optically pure (s)-amlodipine malate. Another aspect of the present invention relates to a method of preparing optically pure (S)-amlodipine malate, comprising admixing optically pure (S)-amlodipine with malic acid. Another aspect of the present invention relates to the various polymorphic and solvated forms of optically pure (s)amlodipine malate. In another prefered embodiment the invention

relates to polymorphic and solvated forms A-G. The present invention also relates to a method of preparing optically pure (S)-amlodipine malate, comprising combining a salt of optically pure (S)-amlodipine with a malate salt to give optically pure (S)-amlodipine malate. In a preferred embodiment, the malate salt is an optically pure L-malate salt.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 2 OF 24 USPATFULL on STN

ACCESSION NUMBER: 2006:34828 USPATFULL TITLE: (S)-amlodipine malate

INVENTOR(S): Laughlin, Sharon M., Hudson, MA, UNITED STATES
Bakale, Roger, Shrewsbury, MA, UNITED STATES

Wilkinson, Harold Scott, Westborough, MA, UNITED STATES

Zlota, Andrei, Sharon, MA, UNITED STATES

PATENT ASSIGNEE(S): Sepracor Inc., Marlborough, MA, UNITED STATES (U.S.

corporation)

NUMBER DATE

PRIORITY INFORMATION: US 2004-554030P 20040316 (60)

US 2005-649635P 20050203 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: FOLEY HOAG, LLP, PATENT GROUP, WORLD TRADE CENTER WEST,

155 SEAPORT BLVD, BOSTON, MA, 02110, US

NUMBER OF CLAIMS: 24 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 67 Drawing Page(s)

LINE COUNT: 2944

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB One aspect of the present invention relates to optically pure (s)-amlodipine malate. Another aspect of the present invention relates to (rac)-amlodipine malate. In a preferred embodiment, the compound is optically pure (s)-amlodipine L-malate. Another aspect of the present invention relates to a pharmaceutical composition comprising optically pure (s)-amlodipine malate. Another aspect of the present invention relates to a method of preparing optically pure (S)-amlodipine malate, comprising admixing optically pure (s)-amlodipine with malic acid. Another aspect of the present invention relates to the various polymorphic and solvated forms of optically pure (s)amlodipine malate. In another prefered embodiment the invention relates to polymorphic and solvated forms A-G. The present invention also relates to a method of preparing optically pure (s) amlodipine malate, comprising combining a salt of optically pure (S)-amlodipine with a malate salt to give optically pure (s)-amlodipine malate. In a preferred embodiment, the malate salt is an optically pure L-malate salt.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 3 OF 24 USPATFULL on STN

ACCESSION NUMBER: 2006:16572 USPATFULL

TITLE: Processes for the preparation of s-(-)-

amlodipine

10/527,091

Chung, You Sup, Kyungki-do, KOREA, REPUBLIC OF INVENTOR(S):

Ha, Mun Choun, Kyungki-do, KOREA, REPUBLIC OF

HANLIM PHARMACEUTICAL CO., LTD., Seoul, KOREA, REPUBLIC PATENT ASSIGNEE(S):

OF (non-U.S. corporation)

NUMBER KIND DATE -----US 2006014961 A1 20060119 US 2003-527091 A1 20030908 (10) WO 2003-KR1849 20030908 PATENT INFORMATION: APPLICATION INFO.:

20050309 PCT 371 date

NUMBER DATE KR 2002-54808 20020911 PRIORITY INFORMATION:

DOCUMENT TYPE: Utility

FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: ROTHWELL, FIGG, ERNST & MANBECK, P.C., 1425 K STREET,

N.W., SUITE 800, WASHINGTON, DC, 20005, US

NUMBER OF CLAIMS: 11 EXEMPLARY CLAIM:

NUMBER OF DRAWINGS: 2 Drawing Page(s)

LINE COUNT: 240

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention provides a process for the preparation of

S-(-)-amlodipine from (R,S)-

amlodipine in industrial-scale using L-(+)-tartaric acid, which is much cheaper than D-(-)-tartaric acid.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 4 OF 24 USPATFULL on STN

ACCESSION NUMBER: 2006:16408 USPATFULL

TITLE: S-(-) -amlodipine nicotinate and process for the preparation thereof

Chung, You Sup, Suwon-city, KOREA, REPUBLIC OF INVENTOR(S):

Ha, Mun Choun, Yongin-city, KOREA, REPUBLIC OF

Hanlim Pharmaceutical Co., Ltd., Seoul, KOREA, REPUBLIC PATENT ASSIGNEE(S):

OF (non-U.S. corporation)

NUMBER KIND DATE -----PATENT INFORMATION: US 2006014795 A1 20060119 US 2003-527093 A1 20030908 (10) WO 2003-KR1850 20030908 APPLICATION INFO.:

20050309 PCT 371 date

NUMBER DATE -----

PRIORITY INFORMATION: KR 2002-5480 20020911 20030109

Utility APPLICATION DOCUMENT TYPE: FILE SEGMENT:

LEGAL REPRESENTATIVE: ROTHWELL, FIGG, ERNST & MANBECK, P.C., 1425 K STREET,

N.W., SUITE 800, WASHINGTON, DC, 20005, US

NUMBER OF CLAIMS: 9 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 10 Drawing Page(s)

LINE COUNT: 364

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention provides a novel salt of s-(-)-AB

amlodipine, i.e., a nicotinic acid salt of s-(-)amlodipine, a process for preparing the same, and a pharmaceutical composition comprising the same as an active ingredient.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 5 OF 24 USPATFULL on STN

ACCESSION NUMBER: 2005:241283 USPATFULL

Compositions comprising (s) -TITLE:

amlodipine malate and an angiotensin receptor

blocker and methods of their use

INVENTOR(S): Grogan, Donna R., Hudson, MA, UNITED STATES

Bush, Larry R., Worcester, MA, UNITED STATES

NUMBER KIND DATE -----

PATENT INFORMATION: US 2005209288 A1 20050922 APPLICATION INFO.: US 2005-33113 A1 20050112 (11)

NUMBER DATE -------

PRIORITY INFORMATION:

US 2004-535488P 20040112 (60) US 2004-559014P 20040405 (60) US 2004-628926P 20041119 (60)

DOCUMENT TYPE: FILE SEGMENT: Utility APPLICATION

LEGAL REPRESENTATIVE: JONES DAY, 51 Louisiana Aveue, N.W, WASHINGTON, DC,

20001-2113, US 41

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

NUMBER OF DRAWINGS: 2 Drawing Page(s)

LINE COUNT: 1952

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A pharmaceutical composition comprising enantiomerically pure (s)-amlodipine malate, an ARB and optional other active agents,

and methods of treating, preventing and managing cardiovascular diseases

and disorders, and symptoms thereof, using the composition, are

disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 6 OF 24 USPATFULL on STN

ACCESSION NUMBER: 2005:215586 USPATFULL TITLE:

Compositions comprising (s)-

amlodipine and an angiotensin receptor blocker

and methods of their use

INVENTOR(S): Grogan, Donna R., Hudson, MA, UNITED STATES

Bush, Larry R., Worcester, MA, UNITED STATES

NUMBER KIND DATE -----PATENT INFORMATION: US 2005187262 A1 20050825 US 2005-33277 A1 20050112 (11) APPLICATION INFO.:

NUMBER DATE

-----PRIORITY INFORMATION: US 2004-535488P 20040112 (60)

> US 2004-559014P 20040405 (60) US 2004-628926P 20041119 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: JONES DAY, 51 Louisiana Aveue, N.W, WASHINGTON, DC,

20001-2113, US

NUMBER OF CLAIMS:

EXEMPLARY CLAIM:

NUMBER OF DRAWINGS: 2 Drawing Page(s)

LINE COUNT:

1886

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A pharmaceutical composition comprising enantiomerically pure (s

)-amlodipine, an ARB and optional other active agents, and

methods of treating, preventing and managing cardiovascular diseases and disorders, and symptoms thereof, using the composition, are disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 7 OF 24 USPATFULL on STN

ACCESSION NUMBER:

2005:203356 USPATFULL

TITLE

Process for the preparation of [s(-)]amlodipine - L (+) - hemitartarate

INVENTOR(S):

Joshi, Rohini Ramesh, Maharashtra, INDIA

Joshi, Ramesh Anna, Maharashtra, INDIA

Gurjar, M. K., Pune, INDIA

PATENT ASSIGNEE(S):

Council of Scientific and Industrial Research, New

Delhi, INDIA (non-U.S. corporation)

KIND DATE NUMBER -----PATENT INFORMATION: US 2005176781 A1 20050811 APPLICATION INFO.: US 2004-937564 A1 20040910 (10)

RELATED APPLN. INFO.: Continuation of Ser. No. US 2002-98502, filed on 18 Mar

2002, ABANDONED

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: BURNS DOANE SWECKER & MATHIS L L P, POST OFFICE BOX

1404, ALEXANDRIA, VA, 22313-1404, US

NUMBER OF CLAIMS:

EXEMPLARY CLAIM:

1

LINE COUNT:

153

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention relates to a process for the preparation of [AB

S(-) amlodipine-L(+)-hemi taratarte] from RS amlodipine base using L(+) tartaric acid in the

presence of dimethyl sulfoxide.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 8 OF 24 USPATFULL on STN

ACCESSION NUMBER:

2005:184008 USPATFULL

TITLE:

Compositions of a cyclooxygenase-2 selective inhibitor and a calcium modulating agent for the treatment of

central nervous system damage

INVENTOR (S):

Stephenson, Diane T., Groton, CT, UNITED STATES Taylor, Duncan P., Bridgewater, NJ, UNITED STATES

PATENT ASSIGNEE(S):

Pharmacia Corporation (U.S. corporation)

NUMBER KIND DATE

PATENT INFORMATION: US 2005159403 A1 20050721 APPLICATION INFO.: US 2004-828868 A1 20040421 (10)

NUMBER DATE -----

PRIORITY INFORMATION: US 2003-464499P 20030422 (60)

DOCUMENT TYPE:

Utility

FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: SENNIGER POWERS LEAVITT AND ROEDEL, ONE METROPOLITAN

SQUARE, 16TH FLOOR, ST LOUIS, MO, 63102, US

NUMBER OF CLAIMS: EXEMPLARY CLAIM: 1

LINE COUNT: 9421

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention provides compositions and methods for the treatment of central nervous system damage in a subject. More particularly, the invention provides a combination therapy for the treatment of a vaso-occlusive event, such as a stroke, comprising the administration to a subject of a calcium modulating agent and a

cyclooxygenase-2 selective inhibitor.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 9 OF 24 USPATFULL on STN

ACCESSION NUMBER: 2005:18962 USPATFULL

Process for preparation of chiral amlodipine salts TITLE:

INVENTOR(S): Joshi, Rohini R., Maharashtra, INDIA Joshi, Ramesh A., Maharashtra, INDIA

Karade, Nilesh B., Maharashtra, INDIA Gurjar, Mukund K., Maharashtra, INDIA

PATENT ASSIGNEE(S): Council of Scientific and Industrial Research, New

Delhi, INDIA (non-U.S. corporation)

NUMBER KIND DATE -------

US 6846932 B1 20050125 US 2003-718267 20031120 PATENT INFORMATION:

APPLICATION INFO.: 20031120 (10)

DOCUMENT TYPE: Utility

FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Morris, Patricia L.

LEGAL REPRESENTATIVE: Darby & Darby

NUMBER OF CLAIMS: 11 EXEMPLARY CLAIM:

NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)

LINE COUNT: 380

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A process for the preparation of pharmaceutically acceptable salts of chiral Amlodipine namely s(-) Amlodipine and R(+)

Amlodipine from without isolation of a free base from with optical purity rank between 96-99% is described in the present invention. The process comprises resolving RS amlodipine base using of L(+) or D(-)

tartaric acid to obtain salt of corresponding to the

acid used in ee rang from 96-99%.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 10 OF 24 USPATFULL on STN

ACCESSION NUMBER: 2005:11752 USPATFULL

TITLE: Method of resolving amlodipine racemate

INVENTOR(S): Senanayake, Chris H., Brookfield, CT, UNITED STATES

Tanoury, Gerald J., Hudson, MA, UNITED STATES

Wilkinson, Harold S., Marlborough, MA, UNITED STATES

Bakale, Roger P., Shrewsbury, MA, UNITED STATES Zlota, Andrei A., Sharon, MA, UNITED STATES Saranteas, Kostas, Peabody, MA, UNITED STATES

PATENT ASSIGNEE(S): Sepracor Inc., Marlborough, MA (U.S. corporation)

NUMBER KIND DATE

PATENT INFORMATION:

US 2005009887 A1 20050113 US 2004-911361 A1 20040804 (10) APPLICATION INFO.:

Continuation of Ser. No. US 2002-325686, filed on 20 RELATED APPLN. INFO.:

Dec 2002, GRANTED, Pat. No. US 6822099

Continuation-in-part of Ser. No. WO 2002-US33894, filed

on 23 Oct 2002, PENDING

NUMBER DATE -----

PRIORITY INFORMATION: US 2001-346250P 20011024 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: ROPES & GRAY LLP, ONE INTERNATIONAL PLACE, BOSTON, MA,

02110-2624

NUMBER OF CLAIMS: 15 EXEMPLARY CLAIM: 1 LINE COUNT: 440

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invention relates to methods of resolving racemic amlodipine into

enantiomerically enriched compositions by precipitation with

tartaric acid in the presence of a non-aqueous

solvent, such as N,N'-dimethylacetamide. The molar ratio of

tartaric acid:amlodipine is preferably less than

0.25:1.0 or greater than 0.75:1.0.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 11 OF 24 USPATFULL on STN

ACCESSION NUMBER: 2003:251917 USPATFULL

TITLE: Process for the preparation of [s(-)]

amlodipine - L (+) - hemitartarate]

INVENTOR(S): Joshi, Rohini Ramesh, Maharashtra, INDIA Joshi, Ramesh Anna, Maharashtra, INDIA

Gurjab, M. K, Pune, INDIA

NUMBER KIND DATE ------PATENT INFORMATION:

US 2003176706 A1 20030918 US 2002-98502 A1 20020318 (10) APPLICATION INFO.:

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Norman H. Stepno, Esquire, BURNS, DOANE, SWECKER &

MATHIS, L.L.P., P.O. Box 1404, Alexandria, VA,

22313-1404

NUMBER OF CLAIMS: EXEMPLARY CLAIM: 1 LINE COUNT: 157

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention relates to a process for the preparation of [

s(-)amlodipine-L(+)-hemi taratarte] from RS amlodipine

base using L(+) tartaric acid in the presence of

dimethyl sulfoxide.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 12 OF 24 USPATFULL on STN

ACCESSION NUMBER: 2003:222183 USPATFULL

TITLE: Process for making S(-) Amlodipine

salts

INVENTOR(S): Joshi, Rohini Ramesh, Pune, INDIA

> Joshi, Ramesh Anna, Pune, INDIA Gurjar, Mukund Keshav, Pune, INDIA

Council of Scientific & Industrial Research, New Delhi, PATENT ASSIGNEE(S):

INDIA (non-U.S. corporation)

NUMBER KIND DATE PATENT INFORMATION: ----- ----- ----- -----

US 6608206 B1 20030819 US 2002-283762 20021030 (10)

Utility DOCUMENT TYPE: GRANTED FILE SEGMENT:

PRIMARY EXAMINER: Morris, Patricia L.

LEGAL REPRESENTATIVE: Luedeka, Neely & Graham PC

NUMBER OF CLAIMS: 6 EXEMPLARY CLAIM:

NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)

LINE COUNT: 214

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A process for the preparation of S(-) Amlodipine salts which comprises reaction of s(-)Amlodipine

base with a solution of pharmaceutically acceptable acid such as benzene sulfonic acid, oxalic acid, maleic acid, succinic acid and p-toluene sulfonic acid. The reaction is carried out in the presence of an organic solvent at room temperature. The organic solvents include alcohols like ethanol methanol 2 propanol hydrocarbons like toluene and polar solvent like dimethyl sulfoxide. The salt is obtained by addition of water and isolation of the salt formed by filtration. The unique feature of the invention is production of **s**(-) **Amlodipine** besylate in good chemical yield, high enantiomeric purity and with the quality

required for preparation of pharmaceutical composition i.e. tablet formulation.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 13 OF 24 USPATFULL on STN

ACCESSION NUMBER: 2003:188528 USPATFULL

Method of resolving amlodipine racemate TITLE:

INVENTOR(S): Senanayake, Chris H., Danbury, CT, UNITED STATES

Tanoury, Gerald J., Hudson, MA, UNITED STATES Wilkinson, Harold S., Marlborough, MA, UNITED STATES

Bakale, Roger P., Shrewsbury, MA, UNITED STATES

Zlota, Andrei A., Sharon, MA, UNITED STATES

PATENT ASSIGNEE(S): Sepracor, Inc., Marlborough, MA (U.S. corporation)

NUMBER KIND DATE -----

US 2003130321 A1 20030710 US 6822099 B2 20041123 US 2002-325686 A1 20021220 (10) PATENT INFORMATION: APPLICATION INFO.:

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. WO 2002-US33894, filed

on 23 Oct 2002, PENDING

NUMBER DATE PRIORITY INFORMATION: US 2001-346250P 20011024 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: ROPES & GRAY LLP, ONE INTERNATIONAL PLACE, BOSTON, MA,

02110-2624

NUMBER OF CLAIMS: 29 EXEMPLARY CLAIM: 1 LINE COUNT: 491

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invention relates to methods of resolving racemic amlodipine into

enantiomerically enriched compositions by precipitation with tartaric acid in the presence of a non-aqueous solvent, such as N, N'-dimethylacetamide. The molar ratio of tartaric acid:amlodipine is preferably less than 0.25:1.0 or greater than 0.75:1.0.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 14 OF 24 USPATFULL on STN

ACCESSION NUMBER: 2003:64724 USPATFULL

TITLE:

INVENTOR (S):

Novel therapeutic agents for membrane transporters Jenkins, Thomas E., La Honda, CA, UNITED STATES Christensen, Burton G., Alamo, CA, UNITED STATES Griffin, John H., Atherton, CA, UNITED STATES Judice, J. Kevin, El Granada, CA, UNITED STATES

NUMBER KIND DATE -----

PATENT INFORMATION: APPLICATION INFO.:

US 2003044845 A1 20030306 US 2002-75017 A1 20020213

RELATED APPLN. INFO.:

Continuation of Ser. No. US 2000-499176, filed on 7 Feb

2000, ABANDONED Continuation of Ser. No. US 1999-327096, filed on 7 Jun 1999, ABANDONED

NUMBER DATE -----

PRIORITY INFORMATION:

US 1998-88465P 19980608 (60) US 1998-93068P 19980716 (60)

DOCUMENT TYPE: FILE SEGMENT:

Utility APPLICATION

LEGAL REPRESENTATIVE: THERAVANCE, INC., 901 GATEWAY BOULEVARD, SOUTH SAN

FRANCISCO, CA, 94080

NUMBER OF CLAIMS:

EXEMPLARY CLAIM:

NUMBER OF DRAWINGS: 14 Drawing Page(s)

LINE COUNT:

5827

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Novel multi-binding compounds (agents) are disclosed which bind cell membrane transporters including ion channels, molecular transporters and ion pumps. The compounds of this invention comprise from 2 to 10 ligands each of which can bind to such cellular transporters to modulate the biological processes/functions thereof. Each of the ligands is covalently attached to a linker (framework) to provide for a multi-binding compound. The linker is selected such that the multi-binding compound exhibits increased modulation of the biological processes/functions of the transporter as compared to the aggregate of the individual ligand units made available for binding to the transporter.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 15 OF 24 USPATFULL on STN

ACCESSION NUMBER: 2003:38384 USPATFULL

TITLE:

Resolution of the enantiomers of amlodipine

INVENTOR(S): Xitian, Zhang, JiLin, CHINA

NUMBER KIND DATE -----US 2003028031 A1 20030206 US 6646131 B2 20031111 PATENT INFORMATION: US 2002-203615 A1 20020816 WO 2000-CN538 20001208 APPLICATION INFO.:

NUMBER DATE

PRIORITY INFORMATION: CN 2000-12701 20000221

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: JACOBSON HOLMAN PLLC, 400 SEVENTH STREET N.W., SUITE

600, WASHINGTON, DC, 20004

NUMBER OF CLAIMS: 3
EXEMPLARY CLAIM: 1
LINE COUNT: 191

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invitation provides an efficient method for the resolution of (R)-(+)-(formula (I)) and (S)-(-)(formula (II))-enantiomers of amlodipine, where the chiral reagent for resolution is tartaric acid and the chiral auxiliary reagent for resolution is

deuterated dimethyl sulphoxide (DMSO-d6).

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 16 OF 24 USPATFULL on STN

ACCESSION NUMBER: 2002:141545 USPATFULL

TITLE: Methods of pharmacological treatment using **s**

(-) amlodipine

INVENTOR(S): Foster, Robert T., Edmonton, CANADA

RELATED APPLN. INFO.: Continuation of Ser. No. US 1999-433963, filed on 4 Nov

1999, PATENTED

NUMBER DATE

PRIORITY INFORMATION: US 1998-107007P 19981104 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Charles H. Jew, Mary Ann Dillahunty, Esq., BURNS,

DOANE, SWECKER & MATHIS, L.L.P., P.O. Box 1404,

Alexandria, VA, 22313-1404

NUMBER OF CLAIMS: 2
EXEMPLARY CLAIM: 1
LINE COUNT: 975

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Methods and compositions are disclosed utilizing the optically pure S(-) isomer of amlodipine. This compound is a potent drug for the treatment of hypertension while avoiding the concomitant liability of adverse effects associated with the administration of the racemic mixture of amlodipine. The S(-) isomer of amlodipine is also useful for the treatment of angina and such other conditions as may be related to the activity of S(-) amlodipine as a calcium channel antagonist without the concomitant liability of adverse effects associated with the racemic mixture of amlodipine.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 17 OF 24 USPATFULL on STN

ACCESSION NUMBER: 2002:85603 USPATFULL

TITLE: Therapeutic compositions comprising excess enantiomer

INVENTOR(S): Chahwala, Suresh Babubhai, Kent, UNITED KINGDOM

Dodd, Michael George, Kent, UNITED KINGDOM Humphrey, Michael John, Kent, UNITED KINGDOM

NUMBER KIND -----US 2002045648 A1 20020418 US 6887886 B2 20050503 US 2001-930330 A1 20010815 (9) PATENT INFORMATION: APPLICATION INFO.:

NUMBER DATE

GB 2000-20842 20000823 PRIORITY INFORMATION:

US 2000-237168P 20001002 (60)

Utility APPLICATION DOCUMENT TYPE: FILE SEGMENT:

LEGAL REPRESENTATIVE: Gregg C. Benson, Pfizer Inc., Patent Department,

Eastern Point Road, MS 4159, Groton, CT, 06340

NUMBER OF CLAIMS: EXEMPLARY CLAIM: 1 LINE COUNT: 581

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention is concerned with pharmaceutical compositions comprising a mixture of amlodipine enantiomers, which compositions have both anti-hypertensive and additional cardiovascular properties derived respectively from their calcium channel-blocking activity and their ability to release vascular nitric oxide (NO).

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 18 OF 24 USPATFULL on STN

ACCESSION NUMBER: 2001:235265 USPATFULL

TITLE: Methods of pharmacological treatment using **s**

(-) amlodipine

INVENTOR(S): Foster, Robert T., Edmonton, Canada

PATENT ASSIGNEE(S): Isotechnika, INC, Edmonton, Canada (non-U.S.

corporation)

NUMBER KIND DATE -----PATENT INFORMATION:
APPLICATION INFO.:
DOCUMENT TYPE: US 6333342 B1 20011225 US 1999-433963 19991104 (9)

DOCUMENT TYPE: Utility

FILE SEGMENT: GRANTED

PRIMARY EXAMINER: Criares, Theodore J.

ASSISTANT EXAMINER: Kim, Jennifer

LEGAL REPRESENTATIVE: Burns, Doane, Swecker & Mathis, L.L.P.

NUMBER OF CLAIMS: 4 EXEMPLARY CLAIM: 1 LINE COUNT: 983

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Methods and compositions are disclosed utilizing the optically pure S(-) isomer of amlodipine. This compound is a potent drug for the treatment of hypertension while avoiding the concomitant liability of adverse effects associated with the administration of the racemic mixture of amlodipine. The S(-) isomer of amlodipine is also useful for the treatment of angina and such other conditions as may be related to the activity of S(-) amlodipine as a calcium channel antagonist without the concomitant liability of adverse effects associated with the racemic mixture of amlodipine.

L1 ANSWER 19 OF 24 USPATFULL on STN

ACCESSION NUMBER: 2000:41183 USPATFULL

Separation of the enantiomers of amlodipine via their TITLE:

diastereomeric tartrates

Spargo, Peter Lionel, Sandwich, United Kingdom INVENTOR(S):

PATENT ASSIGNEE(S): Pfizer Inc., New York, NY, United States (U.S.

corporation)

NUMBER KIND DATE -----

PATENT INFORMATION: US 6046338 APPLICATION INFO.: US 1998-71810 20000404

19980505 (9)

RELATED APPLN. INFO.: Division of Ser. No. US 704612

NUMBER DATE

GB 1994-5833 19940324 PRIORITY INFORMATION:

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Rotman, Alan L.

LEGAL REPRESENTATIVE: Richardson, Peter C., Benson, Gregg C., Jones, James T.

NUMBER OF CLAIMS: 9 EXEMPLARY CLAIM: 372 LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A method for the separation of R-(+) - and S-(-) -isomers of amlodipine

(I) from mixtures thereof, which comprises the reaction of the mixture

of isomers with either L- or D-tartaric acid in an

organic solvent containing sufficient dimethyl sulphoxide (DMSO) for the precipitation of, respectively, a DMSO, solvate of an L-tartate salt of

R-(+)-amlodipine, or a DMSO solvate of a D-tartrate salt of ${\bf s}$

-(-)-amlodipine.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 20 OF 24 USPATFULL on STN

ACCESSION NUMBER: 1998:51780 USPATFULL

TITLE: Separation of the enantiomers of amlodipine via their

diastereomeric tartrates

INVENTOR(S): Spargo, Peter Lionel, Sandwich, United Kingdom

PATENT ASSIGNEE(S): Pfizer Inc., New York, NY, United States (U.S.

corporation)

DATE NUMBER KIND -----PATENT INFORMATION: US 5750707 19980512 WO 9525722 19950928 APPLICATION INFO.: US 1996-704612 19960918 (8) WO 1995-EP847 19950306

19960918 PCT 371 date 19960918 PCT 102(e) date

NUMBER DATE

PRIORITY INFORMATION: GB 1994-5833 19940324

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

FILE SEGMENT: Granted PRIMARY EXAMINER: Rotman, Alan L.

LEGAL REPRESENTATIVE: Richardson, Peter C., Benson, Gregg C., Jones, James T.

NUMBER OF CLAIMS: 4 1,2 EXEMPLARY CLAIM: LINE COUNT: 343

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A method for the separation of R-(+) - and S-(-) -isomers of amlodipine (I) from mixtures thereof, which comprises the reaction of the mixture of isomers with either L- or D-tartaric acid in an organic solvent containing sufficient dimethyl sulphoxide (DMSO) for the precipitation of, respectively, a DMSO solvate of an L-tartrate salt of R-(+)-amlodipine, or a DMSO solvate of a D-tartrate salt of s -(-)-amlodipine. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 21 OF 24 USPAT2 on STN

ACCESSION NUMBER:

2003:188528 USPAT2

TITLE:

Method of resolving amlodipine racemate

INVENTOR(S):

Senanayake, Chris H., Danbury, CT, United States Tanoury, Gerald J., Hudson, MA, United States

Wilkinson, Harold S., Marlborough, MA, United States

Bakale, Roger P., Shrewsbury, MA, United States

Zlota, Andrei A., Sharon, MA, United States

PATENT ASSIGNEE(S):

Sepracor, Inc., Marlborough, MA, United States (U.S.

corporation)

NUMBER KIND DATE -----PATENT INFORMATION: US 6822099 B2 20041123 APPLICATION INFO.: US 2002-325686 20021220 (10)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. WO 2002-US33894, filed

on 23 Oct 2002

DATE NUMBER -----

PRIORITY INFORMATION:

US 2001-346250P 20011024 (60)

DOCUMENT TYPE:

Utility

FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Desai, Rita

LEGAL REPRESENTATIVE: Ropes & Gray LLP NUMBER OF CLAIMS:

14

EXEMPLARY CLAIM:

NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s) LINE COUNT:

442

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invention relates to methods of resolving racemic amlodipine into enantiomerically enriched compositions by precipitation with

tartaric acid in the presence of a non-aqueous

solvent, such as N,N'-dimethylacetamide. The molar ratio of

tartaric acid:amlodipine is preferably less than

0.25:1.0 or greater than 0.75:1.0.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 22 OF 24 USPAT2 on STN

ACCESSION NUMBER:

2003:38384 USPAT2

TITLE:

Resolution of the enantiomers of amlodipine

INVENTOR(S):

Zhang, Xitian, N. 159 Remin Street, Changchun, JiLin,

CHINA 130022

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6646131	B2	20031111	
	WO 2001060799		20010823	
APPLICATION INFO.:	US 2002-203615		20020816	(10)
	WO 2000-CN538		20001208	

NUMBER DATE -----PRIORITY INFORMATION: CN 2000-102701 20000221 DOCUMENT TYPE: Utility FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Morris, Patricia L.

LEGAL REPRESENTATIVE: Jacobson Holman PLLC

NUMBER OF CLAIMS: 4 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)

LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invention provides a feasible method for the separation of both (S) - (-) -enantiomer and (R) - (+) -enantiomer of racemic amlodipine with higher optically purity. The chiral reagent for separation is tartaric acid and the chiral auxiliary reagent is hexadeuterium dimethyl sulphoxide (DMSO-d.sub.6).

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 23 OF 24 USPAT2 on STN

ACCESSION NUMBER: 2002:141545 USPAT2

TITLE: Methods of pharmacological treatment using s

(-) amlodipine

INVENTOR(S): Foster, Robert T., Edmonton, CANADA

Isotechnika, Inc., Alberta, CANADA (non-U.S. PATENT ASSIGNEE(S):

corporation)

NUMBER KIND DATE -----US 6476058 B2 20021105 PATENT INFORMATION: 20011115 (9) APPLICATION INFO.: US 2001-987661

Continuation of Ser. No. US 1999-433963, filed on 4 Nov RELATED APPLN. INFO.:

1999, now patented, Pat. No. US 6333342

NUMBER DATE -----

PRIORITY INFORMATION: US 1998-107007P 19981104 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Criares, Theodore J.
ASSISTANT EXAMINER: Kim, Jennifer

LEGAL REPRESENTATIVE: Burns, Doane, Swecker & Mathis, LLP

NUMBER OF CLAIMS: 5 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)

LINE COUNT: 984

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Methods and compositions are disclosed utilizing the optically pure S(-) isomer of amlodipine. This compound is a potent drug for the treatment of hypertension while avoiding the concomitant liability of adverse effects associated with the administration of the racemic mixture of amlodipine. The S(-) isomer of amlodipine is also useful for the treatment of angina and such other conditions as may be related to the activity of s(-) amlodipine as a calcium channel antagonist without the concomitant liability of adverse effects associated with the racemic mixture of amlodipine.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

10/527,091

ACCESSION NUMBER:

2002:85603 USPAT2

TITLE:

Therapeutic compositions comprising excess enantiomer

INVENTOR(S):

Chahwala, Suresh Babubhai, County of Kent, UNITED

KINGDOM

Dodd, Michael George, County of Kent, UNITED KINGDOM Humphrey, Michael John, County of Kent, UNITED KINGDOM

PATENT ASSIGNEE(S):

Pfizer Inc., New York, NY, UNITED STATES (U.S.

corporation)

NUMBER KIND DATE -------

PATENT INFORMATION:

US 6887886 B2 20050503 US 2001-930330 20010815

APPLICATION INFO.:

20010815 (9)

NUMBER DATE -----

PRIORITY INFORMATION:

GB 2000-20842 20000823

US 2000-237168P 20001002 (60)

DOCUMENT TYPE: FILE SEGMENT:

Utility GRANTED

PRIMARY EXAMINER:

Weddington, Kevin E.

LEGAL REPRESENTATIVE:

Scully, Scott, Murphy & Presser

NUMBER OF CLAIMS:

19

EXEMPLARY CLAIM: NUMBER OF DRAWINGS:

0 Drawing Figure(s); 0 Drawing Page(s)

LINE COUNT:

494

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AΒ

The present invention is concerned with pharmaceutical compositions comprising a mixture of amlodipine enantiomers, which compositions have both anti-hypertensive and additional cardiovascular properties derived respectively from their calcium channel-blocking activity and their ability to release vascular nitric oxide (NO).

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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